Claims

What is claimed is:

1. A compound having Formula II:

$$R_2$$
 R_1
 R_2
 R_3
 R_3

or pharmaceutically acceptable salts, stereoisomers, hydrates or pro-drugs thereof, wherein,

the ring formed by T, U, V is

$$R_5$$
 $N-N$ R_6 $N-N$ R_6 R_6 R_6 R_6

Z is O, S, nitro, or NR₄;

 R_1 , R_2 , or R_5 each independently is:

- 1) hydrogen, hydroxyl, halo, nitro, or cyano;
- 2) C_1 - C_8 alkyl;
- 3) C₂-C₈ alkenyl;
- 4) C₂-C₈ alkynyl;
- 15 5) C_1 - C_8 alkoxy;
 - 6) C₃-C₈ cycloalkyl or heterocyclyl;
 - 7) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl;
 - 8) C₃-C₁₀ aryl;
 - 9) C₅-C₁₀ aralkyl;
- 20 10) C_6 - C_{10} aryloxy;

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- 11) NH_2 , NHR_7 , or NR_7R_7 ; or
- 12) -SO₂R₇,

wherein R_7 is independently H, hydroxyl, halo, C_1 - C_6 alkyl optionally substituted with at least one R_{10} , C_1 - C_6 alkoxy optionally substituted with at least one R_{10} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{10} , C_4 - C_8 heterocycloalkyl optionally substituted with at least one R_{10} , C_3 - C_{10} aryl optionally substituted with at least one R_{10} , NH_2 , NHR_{10} , $NR_{10}R_{10}$, or SO_2R_{10} , wherein R_{10} is independently halo, cyano, nitro, C_1 - C_4

alkyl, C₁-C₄ alkoxy, or NH₂; optionally, R₁ and R₂ taken together form a ring structure including cycloalkyl, heterocyclyl, or aryl ring;

R₃ is:

- 1) hydrogen;
- 5 2) C_1 - C_8 alkyl;
 - 3) C₂-C₈ alkenyl;
 - 4) C₂-C₈ alkynyl;
 - 5) C_1 - C_8 alkoxy;
 - 6) C₃-C₁₀ cycloalkyl or heterocyclyl;
- 7) C₄-C₁₀ cycloalkylalkyl or heterocyclylalkyl;
 - 8) C_3 - C_{10} aryl;
 - 9) C_4 - C_{10} aralkyl;
 - 10) carbonyl; or
 - 11) $-SO_2R_8$, $-CO_2R_8$, $-SR_8$, or $-SOR_8$;

wherein R₈ is independently H, halo, cyano, nitro, C₁-C₄ alkyl optionally substituted with at least one R₁₁, C₁-C₄ alkoxy optionally substituted with at least one R₁₁, C₃-C₈ cycloalkyl optionally substituted with at least one R₁₁, C₃-C₈ heterocyclyl optionally substituted with at least one R₁₁, C₆-C₁₀ aryl optionally substituted with at least one R₁₁, C₆-C₁₀ aralkyl optionally substituted with at least one R₁₁, NH₂, NHR₁₁,

NR₁₁R₁₁, or SO₂R₁₁, wherein R₁₁ is independently halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₆-C₁₀ aryl, C₃-C₈ aralkyl, C₃-C₈ heterocyclyl, or NH₂,

R₄ is:

- 1) hydrogen;
- 2) C_1 - C_8 alkyl;
- 25 3) C₂-C₈ alkenyl;
 - 4) C₂-C₈ alkynyl;
 - 5) C₃-C₈ cycloalkyl or heterocyclyl;
 - 6) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl;
 - 7) C_3 - C_{10} aryl;
- 30 8) C₅-C₁₀ aralkyl;
 - 9) carbonyl; or
 - 10) $-SO_2R_{12}$, or $-SOR_{12}$;

wherein R_{12} is independently H, halo, cyano, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{13} , C_1 - C_4 alkoxy optionally substituted with at least one R_{13} ,

 C_3 - C_8 cycloalkyl optionally substituted with at least one R_{13} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{13} , C_3 - C_{10} aryl optionally substituted with at least one R_{13} , NH_2 , NHR_{13} , $NR_{13}R_{13}$, or SO_2R_{13} , wherein R_{13} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_3 - C_9 aryl, C_3 - C_8 heterocyclylalkyl, or NH_2 ; optionally, R_3 and R_4 are taken together to form a C_4 - C_6 heterocyclyl optionally substituted with R_{13} , or aryl; and

R₆ is:

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- 1) C_1 - C_8 alkyl;
- 2) C₂-C₈ alkenyl;
- 10 3) C_2 - C_8 alkynyl;
 - 4) C_1 - C_8 alkoxy;
 - 5) C₃-C₁₀ cycloalkyl or heterocyclyl;
 - 6) C₄-C₁₀ cycloalkylalkyl or heterocyclylalkyl;
 - 7) C_4 - C_{10} aryl;
- 15 8) C_5 - C_{10} aralkyl; or
 - 9) NH₂, NHR₉ or NR₉R₉,

wherein R_9 is independently hydroxyl, halo, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{14} , C_2 - C_6 alkynyl optionally substituted with at least one R_{14} , C_1 - C_6 alkoxy optionally substituted with at least one R_{14} , C_3 - C_{10} cycloalkyl optionally substituted with at least one R_{14} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{14} , C_4 - C_8 cycloalkylalkyl optionally substituted with R_{14} , heterocyclylalkyl optionally substituted with R_{14} , C_4 - C_{10} aryl optionally substituted with at least one R_{14} , C_5 - C_{10} aralkyl optionally substituted with at least one R_{14} , $-NH_2$, $-NHR_{14}$, $-NR_{14}R_{14}$, or $-SO_2$ - R_{14} , wherein R_{14} is independently halo, cyano, nitro, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_4 - C_9 cycloalkyl, C_4 - C_9 heterocycloalkyl, C_4 - C_{10} aryl, $-SO_2$ (C_6 - C_{10} aryl), $-NH_2$, $-NH[(C_1$ - C_4) alkyl], $-N[(C_1$ - C_4) alkyl], $-NH(C_5$ - C_8 heterocyclylalkyl), $-NH(C_6$ - C_8 aryl), or $-NH(C_6$ - C_8 heterocyclyl).

- 2. The compounds according to claim 1, wherein Z is O or NH.
- 3. The compounds according to claim 1, wherein R_1 , R_2 , or R_5 is substituted with R_7 , wherein R_7 is independently hydroxyl, halo, C_1 - C_6 alkyl optionally substituted with at least one R_{10} , C_1 - C_6 alkoxy optionally substituted with at least one R_{10} , C_3 - C_8 cycloalkyl

optionally substituted with at least one R_{10} , C_4 - C_8 heterocycloalkyl optionally substituted with at least one R_{10} , C_3 - C_{10} aryl optionally substituted with at least one R_{10} , NH_2 , NHR_{10} , $NR_{10}R_{10}$, or SO_2R_{10} , wherein R_{10} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or NH_2 .

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- 4. The compounds according to claim 1, wherein R_1 and R_2 taken together form a ring structure including cycloalkyl, heterocyclyl or aryl rings.
- 5. The compound according to claim 1, wherein R₃ is substituted with R₈ wherein R₈ is independently halo, cyano, nitro, C₁-C₄ alkyl optionally substituted with at least one R₁₁, C₁-C₄ alkoxy optionally substituted with at least one R₁₁, C₃-C₈ cycloalkyl optionally substituted with at least one R₁₁, C₃-C₈ heterocyclyl optionally substituted with at least one R₁₁, C₆-C₁₀ aryl optionally substituted with at least one R₁₁, C₆-C₁₀ aralkyl optionally substituted with at least one R₁₁, NH₂, NHR₁₁, NR₁₁R₁₁, or SO₂R₁₁, wherein R₁₁ is independently halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₆-C₁₀ aryl, C₃-C₈ aralkyl, C₃-C₈ heterocyclyl, or NH₂.
 - 6. The compound according to claim 1, wherein R_4 is substituted with R_{12} wherein R_{12} is independently halo, cyano, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{13} , C_1 - C_4 alkoxy optionally substituted with at least one R_{13} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{13} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{13} , C_3 - C_{10} aryl optionally substituted with at least one R_{13} , N_{13} ,

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7. The compound according to claim 1, wherein R_6 is substituted with R_9 wherein R_9 is independently hydroxyl, halo, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{14} , C_2 - C_6 alkynyl optionally substituted with at least one R_{14} , C_1 - C_6 alkoxy optionally substituted with at least one R_{14} , C_3 - C_{10} cycloalkyl optionally substituted with at least one R_{14} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{14} , C_4 - C_8 cycloalkylalkyl optionally substituted with R_{14} , heterocyclylalkyl optionally substituted with R_{14} , C_4 - C_{10} aryl optionally substituted with at least one R_{14} , C_5 - C_{10} aralkyl optionally substituted with at least one R_{14} , C_5 - C_{10} aralkyl optionally substituted with at least one R_{14} , C_7 - C_8 aralkyl, or -SO₂- C_8 - C_9 cycloalkyl, C_8 - C_9 cycloalkyl, C_9 - C_9 cycloalkyl, C_9 - C_9 cycloalkyl, C_9 - C_9

heterocycloalkyl, C_4 - C_{10} aryl, -SO₂(C_6 - C_{10} aryl), -NH₂, -NH[(C_1 - C_4) alkyl], -N[(C_1 - C_4) alkyl]₂, -NH(C_5 - C_8 heterocyclylalkyl), -NH(C_6 - C_8 aryl), or -NH(C_6 - C_8 heterocyclyl).

8. A compound of Formula III:

$$R_{1}$$
 R_{1}
 R_{1}
 R_{2}
 R_{3}
 R_{3}

5 wherein,

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the ring formed by T, U, V is

$$R_5$$
 $N-N$ R_6 $N-N$ R_6 R_6 R_6

Z is O, S, nitro, or NR4;

 R_1 , R_2 , or R_5 each independently is:

1) hydrogen, hydroxyl, halo, nitro, or cyano;

2) C_1 - C_8 alkyl;

3) C2-C8 alkenyl;

4) C₂-C₈ alkynyl;

5) C_1 - C_8 alkoxy;

6) C₃-C₈ cycloalkyl or heterocyclyl;

7) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl;

8) C₃-C₁₀ aryl;

9) C₅-C₁₀ aralkyl;

10) C₆-C₁₀ aryloxy;

20 11) NH₂, NHR₇, or NR₇R₇; or

12) $-SO_2R_7$,

wherein R_7 is independently H, hydroxyl, halo, C_1 - C_6 alkyl optionally substituted with at least one R_{10} , C_1 - C_6 alkoxy optionally substituted with at least one R_{10} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{10} , C_4 - C_8 heterocycloalkyl optionally substituted with at least one R_{10} , C_3 - C_{10} aryl optionally substituted with at least one R_{10} , NH_2 , NHR_{10} , $NR_{10}R_{10}$, or SO_2R_{10} , wherein R_{10} is independently halo, cyano, nitro, C_1 - C_4

alkyl, C₁-C₄ alkoxy, or NH₂; optionally, R₁ and R₂ taken together form a ring structure including cycloalkyl, heterocyclyl, or aryl ring;

R₃ is:

- 1) hydrogen;
- 5 2) C_1 - C_8 alkyl;
 - 3) C₂-C₈ alkenyl;
 - 4) C₂-C₈ alkynyl;
 - 5) C₁-C₈ alkoxy;
 - 6) C₃-C₁₀ cycloalkyl or heterocyclyl;
- 7) C₄-C₁₀ cycloalkylalkyl or heterocyclylalkyl;
 - 8) C_3 - C_{10} aryl;
 - 9) C_4 - C_{10} aralkyl;
 - 10) carbonyl; or
 - 11) $-SO_2R_8$, $-CO_2R_8$, $-SR_8$, or $-SOR_8$;
- wherein R₈ is independently H, halo, cyano, nitro, C₁-C₄ alkyl optionally substituted with at least one R₁₁, C₁-C₄ alkoxy optionally substituted with at least one R₁₁, C₃-C₈ cycloalkyl optionally substituted with at least one R₁₁, C₃-C₈ heterocyclyl optionally substituted with at least one R₁₁, C₆-C₁₀ aryl optionally substituted with at least one R₁₁, C₆-C₁₀ aralkyl optionally substituted with at least one R₁₁, NH₂, NHR₁₁,
- NR₁₁R₁₁, or SO₂R₁₁, wherein R₁₁ is independently halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₆-C₁₀ aryl, C₃-C₈ aralkyl, C₃-C₈ heterocyclyl, or NH₂,

R₄ is:

- 1) hydrogen;
- 2) C_1 - C_8 alkyl;
- 25 3) C_2 - C_8 alkenyl;
 - 4) C₂-C₈ alkynyl;
 - 5) C₃-C₈ cycloalkyl or heterocyclyl;
 - 6) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl:
 - 7) C_3 - C_{10} aryl;
- 30 8) C_5 - C_{10} aralkyl;
 - 9) carbonyl; or
 - 10) $-SO_2R_{12}$, or $-SOR_{12}$;

wherein R_{12} is independently H, halo, cyano, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{13} , C_1 - C_4 alkoxy optionally substituted with at least one R_{13} ,

 C_3 - C_8 cycloalkyl optionally substituted with at least one R_{13} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{13} , C_3 - C_{10} aryl optionally substituted with at least one R_{13} , NH_2 , NHR_{13} , $NR_{13}R_{13}$, or SO_2R_{13} , wherein R_{13} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_3 - C_9 aryl, C_3 - C_8 heterocyclylalkyl, or NH_2 ; optionally, R_3 and R_4 are taken together to form a C_4 - C_6 heterocyclyl optionally substituted with R_{13} , or aryl; and

 R_6 is:

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- 1) C₁-C₈ alkyl;
- 2) C₂-C₈ alkenyl;
- 10 3) C_2 - C_8 alkynyl;
 - 4) C_1 - C_8 alkoxy;
 - 5) C₃-C₁₀ cycloalkyl or heterocyclyl;
 - 6) C₄-C₁₀ cycloalkylalkyl or heterocyclylalkyl;
 - 7) C_4 - C_{10} aryl;
- 15 8) C_5 - C_{10} aralkyl; or
 - 9) NH₂, NHR₉ or NR₉R₉,

wherein R_9 is independently hydroxyl, halo, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{14} , C_2 - C_6 alkynyl optionally substituted with at least one R_{14} , C_1 - C_6 alkoxy optionally substituted with at least one R_{14} , C_3 - C_{10} cycloalkyl optionally substituted with at least one R_{14} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{14} , C_4 - C_8 cycloalkylalkyl optionally substituted with R_{14} , heterocyclylalkyl optionally substituted with R_{14} , C_4 - C_{10} aryl optionally substituted with at least one R_{14} , C_5 - C_{10} aralkyl optionally substituted with at least one R_{14} , $-NH_2$, $-NHR_{14}$, $-NR_{14}R_{14}$, or $-SO_2$ - $-R_{14}$, wherein R_{14} is independently halo, cyano, nitro, C_1 - $-C_6$ alkyl, C_1 - $-C_6$ alkoxy, C_4 - $-C_9$ cycloalkyl, $-C_4$ - $-C_9$ heterocycloalkyl, $-C_4$ - $-C_9$ heterocycloalkyl, $-C_4$ - $-C_9$ heterocycloalkyl, $-C_4$ - $-C_9$ heterocycloalkyl, $-NH(C_5$ - $-C_8$ heterocyclylalkyl), $-NH(C_6$ - $-C_8$ aryl), or $-NH(C_6$ - $-C_8$ heterocyclylalkyl).

- 9. The compound according to claim 8, wherein Z is O or NR₄.
- 10. The compound according to claim 8, wherein R_1 , R_2 , or R_5 is substituted with R_7 wherein R_7 is independently hydroxyl, halo, C_1 - C_6 alkyl optionally substituted with at least one R_{10} , C_1 - C_6 alkoxy optionally substituted with at least one R_{10} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{10} , C_4 - C_8 heterocycloalkyl optionally substituted

with at least one R_{10} , C_3 - C_{10} aryl optionally substituted with at least one R_{10} , NH_2 , NHR_{10} , $NR_{10}R_{10}$, or SO_2R_{10} , wherein R_{10} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or NH_2 .

- 5 11. The compound according to claim 8, wherein when taken together R₁ and R₂ form a ring structure including cycloalkyl, heterocyclyl, or aryl.
 - 12. The compound according to claim 8, wherein R_3 is substituted with R_8 wherein R_8 is independently halo, cyano, nitro, C_1 - C_4 alkyl optionally substituted with at least one R_{11} , C_1 - C_4 alkoxy optionally substituted with at least one R_{11} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{11} , C_6 - C_{10} aryl optionally substituted with at least one R_{11} , C_6 - C_{10} aryl optionally substituted with at least one R_{11} , C_6 - C_{10} aralkyl optionally substituted with at least one R_{11} , NR_{11} , NR_{11} , or SO_2R_{11} , wherein R_{11} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_6 - C_{10} aryl, C_3 - C_8 aralkyl, C_3 - C_8 heterocyclyl, or NH_2 .

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- 13. The compound according to claim 8, wherein R_4 is substituted with R_{12} wherein R_{12} is independently halo, cyano, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{13} , C_1 - C_4 alkoxy optionally substituted with at least one R_{13} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{13} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{13} , C_3 - C_{10} aryl optionally substituted with at least one R_{13} , NH_2 , NHR_{13} , $NR_{13}R_{13}$, or SO_2R_{13} , wherein R_{13} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_3 - C_9 aryl, C_3 - C_8 heterocyclylalkyl, or NH_2 .
- 25 14. The compound according to claim 8, wherein R₆ is substituted with R₉ wherein R₉ is independently hydroxyl, halo, nitro, C₁-C₆ alkyl optionally substituted with at least one R₁₄, C₂-C₆ alkynyl optionally substituted with at least one R₁₄, C₁-C₆ alkoxy optionally substituted with at least one R₁₄, C₂-C₈ heterocyclyl optionally substituted with at least one R₁₄, C₄-C₈ cycloalkylalkyl optionally substituted with R₁₄, heterocyclylalkyl optionally substituted with R₁₄, C₄-C₁₀ aryl optionally substituted with at least one R₁₄, C₅-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₅-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₁₀ aralkyl optionally substituted with at least one R₁₄, C₇-C₇ aralkyl optionally substituted with at least one R₁₄, C₇-C₇ aralkyl optionally substituted with at least one R₁₄, C₇-C₇ aralkyl optionally substituted with at least one R₁₄, C₇-C₇ aralkyl optionally substituted with at least one R₁

heterocycloalkyl, C_4 - C_{10} aryl, - $SO_2(C_6$ - C_{10} aryl), - NH_2 , - $NH[(C_1$ - $C_4)$ alkyl], - $N[(C_1$ - $C_4)$ alkyl]₂, - $NH(C_5$ - C_8 heterocyclylalkyl), - $NH(C_6$ - C_8 aryl), or - $NH(C_6$ - C_8 heterocyclyl).

15. A method for treating cancer comprising administering a therapeutically effective amount of a compound of Formula II to a subject in need of such treatment, wherein the compound of Formula II has the formula:

$$R_{1}$$
 R_{1}
 R_{2}
 R_{1}
 R_{3}
 R_{3}

or pharmaceutically acceptable salts, stereoisomers, hydrates or pro-drugs thereof, wherein,

the ring formed by T, U, V is

$$R_5$$
 $N-N$ R_6 $N-N$ R_6 R_6 R_6 R_6

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Z is O, S, nitro, or NR₄;

R₁, R₂, or R₅ each independently is:

- 1) hydrogen, hydroxyl, halo, nitro, or cyano;
- 2) C_1 - C_6 alkyl;

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- 3) C₂-C₆ alkenyl;
- 4) C₂-C₆ alkynyl;
- 5) C_1 - C_6 alkoxy;
- 6) C₃-C₈ cycloalkyl or heterocyclyl;
- 7) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl;

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- 8) C_4 - C_{10} aryl;
- 9) C_5 - C_{10} aralkyl;
- 10) C_6 - C_{10} aryloxy;
- 11) NH₂, NHR₇, or NR₇R₇; or
- 12) $-SO_2R_7$,

wherein R₇ is independently H, hydroxyl, halo, C₁-C₄ alkyl optionally substituted with at least one R₁₀, C₁-C₄ alkoxy optionally substituted with at least one R₁₀, C₃-C₈ cycloalkyl optionally substituted with at least one R₁₀, C₄-C₈ heterocycloalkyl optionally

substituted with at least one R_{10} , C_6 - C_{10} aryl optionally substituted with at least one R_{10} , NH_2 , NHR_{10} , $NR_{10}R_{10}$, or SO_2R_{10} , wherein R_{10} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or NH_2 , wherein when taken together R_1 and R_2 form a ring structure including heterocyclyl or aryl rings;

- 5 R_3 is:
 - 1) hydrogen;
 - 2) C_1 - C_6 alkyl;
 - 3) C₂-C₆ alkenyl;
 - 4) C₂-C₆ alkynyl;
- 10 5) C_1 - C_6 alkoxy;
 - 6) C₃-C₁₀ cycloalkyl or heterocyclyl;
 - 7) C₄-C₁₀ cycloalkylalkyl or heterocyclylalkyl;
 - $^{\circ}$ 8) C₄-C₁₀ aryl;
 - 9) C_4 - C_{10} aralkyl;
- 15 10) carbonyl; or
 - 11) $-SO_2R_8$, $-CO_2R_8$, $-SR_8$, or $-SOR_8$;

wherein R₈ is independently H, halo, cyano, nitro, C₁-C₄ alkyl optionally substituted with at least one R₁₁, C₁-C₄ alkoxy optionally substituted with at least one R₁₁, C₃-C₈ cycloalkyl optionally substituted with at least one R₁₁, C₃-C₈ heterocyclyl optionally substituted with at least one R₁₁, C₆-C₁₀ aryl optionally substituted with at least one R₁₁, C₆-C₁₀ aralkyl optionally substituted with at least one R₁₁, NH₂, NHR₁₁, NR₁₁R₁₁, or SO₂R₁₁, wherein R₁₁ is independently halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₆-C₁₀ aryl, C₃-C₈ aralkyl, C₃-C₈ heterocyclyl, or NH₂,

R₄ is:

- 251) hydrogen;
 - 2) C_1 - C_6 alkyl;
 - 3) C_2 - C_6 alkenyl;
 - 4) C₂-C₆ alkynyl;
 - 5) C₃-C₈ cycloalkyl or heterocyclyl;
- 30 6) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl;
 - 7) C_4 - C_{10} aryl;
 - 8) C_5 - C_{10} aralkyl;
 - 9) carbonyl; or
 - 10) $-SO_2R_{12}$, or $-SOR_{12}$;

wherein R_{12} is independently H, halo, cyano, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{13} , C_1 - C_4 alkoxy optionally substituted with at least one R_{13} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{13} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{13} , C_6 - C_{10} aryl optionally substituted with at least one R_{13} , NH_2 , NHR_{13} , $NR_{13}R_{13}$, or SO_2R_{13} , wherein R_{13} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_3 - C_9 aryl, C_3 - C_8 heterocyclylalkyl, or NH_2 ; and

R₆ is:

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- 1) C₁-C₆ alkyl;
- 2) C_2 - C_6 alkenyl;
- 10 3) C₂-C₆ alkynyl;
 - 4) C_1 - C_6 alkoxy;
 - 5) C₃-C₈ cycloalkyl or heterocyclyl;
 - 6) C₄-C₈ cycloalkylalkyl or heterocyclylalkyl;
 - 7) C_4 - C_{10} aryl;
- 15 8) C_5 - C_{10} aralkyl; or
 - 9) -NH₂, -NHR₉, or -NR₉R₉,

wherein R_9 is independently hydroxyl, halo, nitro, C_1 - C_4 alkyl optionally substituted with at least one R_{14} , C_2 - C_4 alkynyl optionally substituted with at least one R_{14} , C_1 - C_4 alkoxy optionally substituted with at least one R_{14} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{14} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{14} , C_6 - C_{10} aryl optionally substituted with at least one R_{14} , C_5 - C_{10} aralkyl optionally substituted with at least one R_{14} , $-NH_{2}$, $-NHR_{14}$, $-NR_{14}R_{14}$, or $-SO_2$ - R_{14} , wherein R_{14} is independently halo, cyano, nitro, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_4 - C_9 cycloalkyl, C_6 - C_{10} aryl, C_4 - C_9 heterocycloalkyl, $-SO_2(C_6$ - C_{10} aryl), NH_2 , $-NH[(C_1$ - $C_4)$ alkyl], $-N[(C_1$ - $C_4)$ alkyl]₂, $-NH(C_5$ - C_9 heterocyclylalkyl), $-NH(C_6$ - C_8 aryl), or $-NH(C_6$ - C_8 heterocyclyl) or a pharmaceutically acceptable salt, hydrate or pro-drug thereof, in combination with a pharmaceutically acceptable carrier.

- 16. The method according to claim 15, wherein Z is O or NH.
- 17. The method according to claim 15, wherein R_1 , R_2 , or R_5 is substituted with R_7 wherein R_7 is independently hydroxyl, halo, C_1 - C_6 alkyl optionally substituted with at least one R_{10} , C_1 - C_6 alkoxy optionally substituted with at least one R_{10} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{10} , C_4 - C_8 heterocycloalkyl optionally substituted

with at least one R_{10} , C_3 - C_{10} aryl optionally substituted with at least one R_{10} , NH_2 , NHR_{10} , $NR_{10}R_{10}$, or SO_2R_{10} , wherein R_{10} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, or NH_2 .

5 18. The method according to claim 15, wherein R₁ and R₂ taken together form a ring structure including cycloalkyl, heterocyclyl, or aryl.

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- 19. The method according to claim 15, wherein R_3 is substituted with R_8 wherein R_8 is independently halo, cyano, nitro, C_1 - C_4 alkyl optionally substituted with at least one R_{11} , C_1 - C_4 alkoxy optionally substituted with at least one R_{11} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{11} , C_6 - C_{10} aryl optionally substituted with at least one R_{11} , C_6 - C_{10} aryl optionally substituted with at least one R_{11} , C_6 - C_{10} aralkyl optionally substituted with at least one R_{11} , R_{11} , R_{11} , R_{11} , or R_{11} , wherein R_{11} is independently halo, cyano, nitro, R_1 - R_1 - R_2 - R_2 alkoxy, R_3 - R_3 heterocyclyl, or R_1 - R_2 - R_3 heterocyclyl, or R_1 - R_2 - R_3 heterocyclyl, or R_1 - R_2 - R_3
- 20. The method according to claim 15, wherein R_4 is substituted with R_{12} wherein R_{12} is independently halo, cyano, nitro, C_1 - C_6 alkyl optionally substituted with at least one R_{13} , C_1 - C_4 alkoxy optionally substituted with at least one R_{13} , C_3 - C_8 cycloalkyl optionally substituted with at least one R_{13} , C_2 - C_8 heterocyclyl optionally substituted with at least one R_{13} , C_3 - C_{10} aryl optionally substituted with at least one R_{13} , N_{13} , N_{13} , N_{13} , N_{13} , or SO_2R_{13} , wherein R_{13} is independently halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_3 - C_9 aryl, C_3 - C_8 heterocyclylalkyl, or N_{12} .
- 21. The method according to claim 15, wherein R₆ is substituted with R₉ wherein R₉ is independently hydroxyl, halo, nitro, C₁-C₆ alkyl optionally substituted with at least one R₁₄, C₂-C₆ alkynyl optionally substituted with at least one R₁₄, C₁-C₆ alkoxy optionally substituted with at least one R₁₄, C₃-C₁₀ cycloalkyl optionally substituted with at least one R₁₄, C₂-C₈ heterocyclyl optionally substituted with at least one R₁₄, C₄-C₈ cycloalkylalkyl optionally substituted with R₁₄, heterocyclylalkyl optionally substituted with R₁₄, C₄-C₁₀ aryl optionally substituted with at least one R₁₄, C₅-C₁₀ aralkyl optionally substituted with at least one R₁₄, -NH₂, -NHR₁₄, -NR₁₄R₁₄, or -SO₂-R₁₄, wherein R₁₄ is independently halo, cyano, nitro, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₄-C₉ cycloalkyl, C₄-C₉

heterocycloalkyl, C_4 - C_{10} aryl, -SO₂(C_6 - C_{10} aryl), -NH₂, -NH[(C_1 - C_4) alkyl], -N[(C_1 - C_4) alkyl]₂, -NH(C_5 - C_8 heterocyclylalkyl), -NH(C_6 - C_8 aryl), or -NH(C_6 - C_8 heterocyclyl).

- 22. The method according to claim 15, wherein the dosage form is a tablet,
 5 caplet, troche, lozenge, dispersion, suspension, suppository, solution, capsule, or patch.
 - 23. The method according to claim 15, wherein the compound is administered in about 0.001 mg/kg to about 100 mg/kg.
- 10 24. The method according to claim 15, wherein the compound is administered by oral administration.